

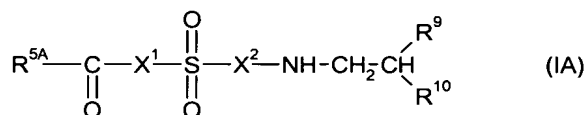


# AMENDMENTS TO THE CLAIMS

This listing of claims will replace all prior versions, and listings, of claims in the application.

## Listing of Claims:

Claim 1 (original): A compound of formula IA



wherein

$\text{R}^{5\text{A}}$  is  $-\text{X}^{\text{A}}-\text{R}^{6\text{A}}$  or  $-\text{N}(\text{R}^{7\text{A}})\text{R}^{8\text{A}}$ , wherein

$\text{X}^{\text{A}}$  is piperidinylene or piperazinylene,

$\text{R}^{6\text{A}}$  is H,  $\text{C}_1$ - $\text{C}_4$ alkyl,  $\text{C}_3$ - $\text{C}_4$ alkenyl,  $\text{C}_3$ - $\text{C}_4$ alkinyl,  $\text{C}_1$ - $\text{C}_4$ (alkoxyalkyl),  $\text{C}_1$ - $\text{C}_4$ (carboxyalkyl), a  $\text{C}_5$ - $\text{C}_7$ heterocyclic group or phenyl- $\text{C}_1$ - $\text{C}_4$ alkyl;

$\text{R}^{7\text{A}}$  is amino- $\text{C}_2$ - $\text{C}_4$ alkyl or mono- or di- $(\text{C}_1$ - $\text{C}_5$ alkyl)amino- $\text{C}_2$ - $\text{C}_5$ alkyl, and

$\text{R}^{8\text{A}}$  is H,  $\text{C}_1$ - $\text{C}_4$ alkyl or has the meanings as given for  $\text{R}^{7\text{A}}$ ;

$\beta$ 1  $\text{X}^1$  is a divalent group of formula IA'  $-(\text{CH}_2)_n-\text{X}^3(\text{CH}_2)_m-\text{X}^4-\text{N}-$  wherein

$n$  is zero or 1;

$\text{X}^3$  is CH or N;

(a)  $\text{X}^4$  is a direct bond,  $\text{R}^{3\text{A}}$  and  $\text{R}^{4\text{A}}$  together are ethylene and  $m$  is 2; or

(b)  $\text{X}^4$  is a direct bond,  $\text{R}^{3\text{A}}$  is H,  $\text{C}_1$ - $\text{C}_4$ alkyl,  $\text{C}_3$ - $\text{C}_6$ cycloalkyl,  $\text{C}_3$ - $\text{C}_6$ alkenyl,  $\text{C}_3$ - $\text{C}_6$ alkinyl,  $\text{C}_7$ - $\text{C}_{10}$ aralkyl, or  $\text{C}_6$ - $\text{C}_9$ heteroaralkyl,  $\text{R}^{4\text{A}}$  is H and  $m$  is 1 or 2 or 3; or

(c)  $\text{X}^4$  is  $-\text{CH}(\text{R}^{12})-$ ,  $\text{R}^{3\text{A}}$  is H and  $\text{R}^{4\text{A}}$  and  $\text{R}^{12}$  together are propylene and  $m$  is 1, or ethylene and  $m$  is 2;

$\text{X}^2$  is a divalent group of formula IA''  wherein

$\text{X}^3$  is CH or N; and

$\text{R}^{11}$  is  $\text{C}_1$ - $\text{C}_4$ alkyl,  $\text{C}_3$ - $\text{C}_6$ cycloalkyl or  $-\text{NR}^{1\text{A}}\text{R}^{2\text{A}}$ , wherein

$\text{R}^{1\text{A}}$  and  $\text{R}^{2\text{A}}$  independently are  $\text{C}_1$ - $\text{C}_4$ alkyl or, together with the N-atom to which they are attached, represent a 5 to 7 membered heterocyclic ring; and

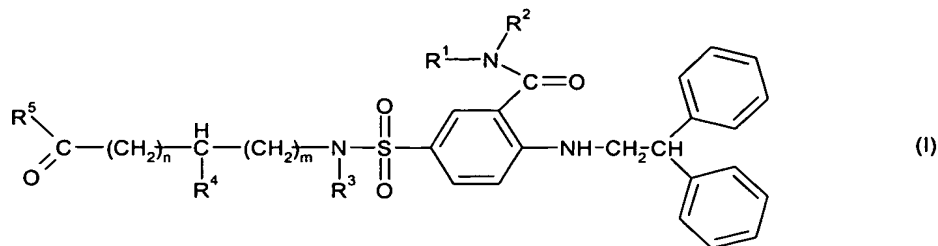
$\text{R}^9$  and  $\text{R}^{10}$  independently are a phenyl or pyridine ring;

and salts thereof.

Claim 2 (cancelled).

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Claim 3 (original): A compound of formula I



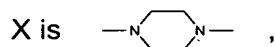
wherein

R<sup>1</sup> and R<sup>2</sup> independently are C<sub>1</sub>-C<sub>4</sub>alkyl or, together with the N-atom to which they are attached, represent a 5 to 7 membered heterocyclic ring;

(a) R<sup>3</sup> and R<sup>4</sup> together are ethylene and m is 2; or

(b) R<sup>3</sup> is H, C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>5</sub>-C<sub>7</sub>cycloalkyl or phenyl-C<sub>1</sub>-C<sub>4</sub>alkyl, R<sup>4</sup> is H and m is 1 or 2 or 3; n is zero or 1; and

R<sup>5</sup> is -X-R<sup>6</sup> or -N(R<sup>7</sup>)R<sup>8</sup>, wherein



R<sup>6</sup> is C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>3</sub>-C<sub>4</sub>alkenyl, C<sub>3</sub>-C<sub>4</sub>alkinyl, C<sub>1</sub>-C<sub>4</sub>(alkoxyalkyl), C<sub>1</sub>-C<sub>4</sub>(carboxyalkyl), a C<sub>5</sub>-C<sub>7</sub>heterocyclic group or phenyl-C<sub>1</sub>-C<sub>4</sub>alkyl;

R<sup>7</sup> is amino-C<sub>2</sub>-C<sub>4</sub>alkyl or mono- or di-(C<sub>1</sub>-C<sub>5</sub>alkyl)amino-C<sub>2</sub>-C<sub>5</sub>alkyl, and

R<sup>8</sup> is H, C<sub>1</sub>-C<sub>4</sub>alkyl or has the meanings as given for R<sup>7</sup>;

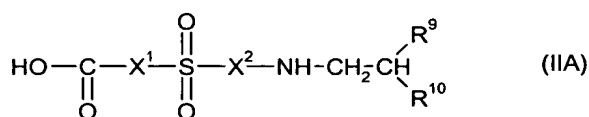
and salts thereof.

Claim 4 (original): A compound according to claim 1 which is {2-(2,2-diphenyl-ethylamino)-5-[4-(4-isopropyl-piperazine-1-carbonyl)-piperidine-1-sulfonyl]-phenyl}-morpholin-4-yl-methanone, or {2-(2,2-diphenyl-ethylamino)-5-[4-(4-methyl-piperazine-1-carbonyl)-piperidine-1-sulfonyl]-phenyl}-morpholin-4-yl-methanone.

Claims 5-11 (cancelled).

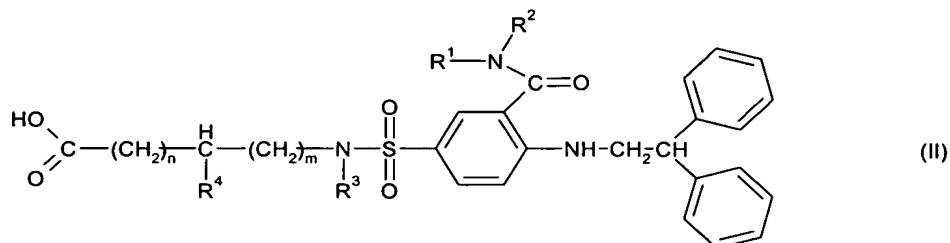
Claim 12 (new). The compound 2-(2,2-diphenylethylamino)-5-(4-aminocarbonyl-piperidine-1-sulfonyl)-benzoic acid amide or a 2-(2,2-diphenylethylamino)-5-(aminocarbonyl-C<sub>2</sub>-C<sub>4</sub>alkylene-aminosulfonyl)-benzoic acid amide compound, or a salt of said compounds.

Claim 13 (new). A process for preparing a compound of formula IA according to claim 1 which comprises: 1) in a first step, reacting a compound of formula IIA.



where  $X^1$ ,  $X^2$ ,  $R^9$  and  $R^{10}$  are as defined in claim 1, with thionyl chloride and a catalytic amount of dimethylformamide to obtain the corresponding acid chloride compound; and 2) in a second step, coupling the acid chloride compound obtained in the first step by adding it to an amine to obtain the desired compound of formula IA in free base or, if desired, salt form.

Claim 14 (new). A process for preparing a compound of formula I according to claim 3 which comprises: 1) in a first step, reacting a compound of formula II

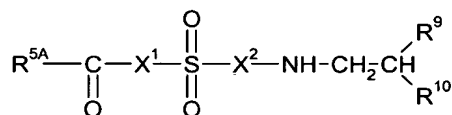


where  $R^1$ ,  $R^2$ ,  $R^3$ ,  $R^4$ ,  $m$  and  $n$  are as defined in claim 3, with thionyl chloride and a catalytic amount of dimethylformamide to obtain the corresponding acid chloride compound; and 2) in a second step, coupling the acid chloride compound obtained in the first step by adding it to an amine to obtain the desired compound of formula I in free base or, if desired, salt form.

Claim 15 (new). A method of treating a disease which is responsive to the antagonism of bradykinin activity comprising administering to a mammal in need of such treatment a therapeutically effective amount of a compound according to claim 1, or a pharmaceutically acceptable salt thereof.

Claim 16 (new). A pharmaceutical composition comprising a pharmaceutically acceptable carrier and a therapeutically effective amount of a compound according to claim 1, or a pharmaceutically acceptable salt thereof.

Claim 17 (new). A compound having the formula



wherein

$\text{R}^{5A}$  is  $-\text{X}^A-\text{R}^{6A}$  or  $-\text{N}(\text{R}^{7A})\text{R}^{8A}$ , wherein

$\text{X}^A$  is piperidinylene or piperazinylene,

$\text{R}^{6A}$  is H,  $\text{C}_1$ - $\text{C}_4$ alkyl,  $\text{C}_3$ - $\text{C}_4$ alkenyl,  $\text{C}_3$ - $\text{C}_4$ alkynyl,  $\text{C}_1$ - $\text{C}_4$ (alkoxyalkyl),  $\text{C}_1$ - $\text{C}_4$ (carboxyalkyl), a  $\text{C}_5$ - $\text{C}_7$ heterocyclic group or phenyl-  $\text{C}_1$ - $\text{C}_4$ alkyl;

$\text{R}^{7A}$  is amino- $\text{C}_2$ - $\text{C}_4$ alkyl or mono- or di- $(\text{C}_1$ - $\text{C}_5$ alkyl)amino- $\text{C}_2$ - $\text{C}_5$ alkyl, and

$\text{R}^{8A}$  is H,  $\text{C}_1$ - $\text{C}_4$ alkyl or has the meanings as given for  $\text{R}^{7A}$ ;

X<sup>1</sup> is a divalent group of formula IA'  $\text{---}(\text{CH}_2)_n\text{---}\overset{\text{R}^{4A}}{\underset{|}{\text{X}^3}}\text{---}(\text{CH}_2)_m\text{---}\overset{\text{R}^{3A}}{\underset{|}{\text{X}^4}}\text{---N---}$  wherein

n is zero or 1;

X<sup>3</sup> is CH or N;

(a) X<sup>4</sup> is a direct bond, R<sup>3A</sup> and R<sup>4A</sup> together are ethylene and m is 2; or

- (b) X<sup>4</sup> is a direct bond, R<sup>3A</sup> is H, C<sub>1</sub>-C<sub>4</sub>alkyl, which may be unsubstituted or substituted by halogen, C<sub>3</sub>-C<sub>6</sub>cycloalkyl or aryl, C<sub>3</sub>-C<sub>6</sub>cycloalkyl, C<sub>3</sub>-C<sub>6</sub>alkenyl, C<sub>3</sub>-C<sub>6</sub>alkinyl, C<sub>7</sub>-C<sub>10</sub>aralkyl, which may be unsubstituted or substituted by halogen, methoxy, nitro or C<sub>1</sub>-C<sub>4</sub>alkyl which may be unsubstituted or substituted by halogen, or C<sub>6</sub>-C<sub>9</sub>heteroaralkyl, which may be unsubstituted or substituted by C<sub>1</sub>-C<sub>4</sub>alkyl, R<sup>4A</sup> is H and m is 1 or 2 or 3; or
- (c) X<sup>4</sup> is -CH(R<sup>12</sup>)-, R<sup>3A</sup> is H and R<sup>4A</sup> and R<sup>12</sup> together are propylene and m is 1, or ethylene and m is 2;

X<sup>2</sup> is a divalent group of formula IA''  $\text{---}\text{C}_6\text{H}_4\text{---}\overset{\text{C(O)R}^{11}}{\underset{\text{X}^3}{|}}\text{---}$  wherein

X<sup>3</sup> is CH or N; and

R<sup>11</sup> is C<sub>1</sub>-C<sub>4</sub>alkyl, C<sub>3</sub>-C<sub>6</sub>cycloalkyl or -NR<sup>1A</sup>R<sup>2A</sup>, wherein

R<sup>1A</sup> and R<sup>2A</sup> independently are C<sub>1</sub>-C<sub>4</sub>alkyl or, together with the N-atom to which they are attached, represent a 5 to 7 membered heterocyclic ring; and

R<sup>9</sup> and R<sup>10</sup> independently are a phenyl or pyridine ring, both of which may be unsubstituted or substituted by one or more halogen atoms;

and salts thereof.